ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:565086 CAPLUS

DOCUMENT NUMBER:

141:123632

TITLE:

Preparation of 3,5-Disubstituted-[1,2,4]-oxadiazoles and analogs as activators of caspases and inducers of

apoptosis

INVENTOR(S):

Cai, Sui Xiong; Zhang, Han-zhong; Kuemmerle, Jared D.;

Zhang, Hong; Kemnitzer, William E.

PATENT ASSIGNEE(S):

Cytovia, Inc., USA PCT Int. Appl., 97 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATE	ENT I	NO.			KIN	D	DATE		į	APPL:	ICAT	ION I	NO.		D	ATE		
	WO 2	2004	0582	53		A1		2004	0715	Ţ	WO 2	003-	US40	308		20	00312	218	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
								ID,											
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US 2	2004	1275	21		A1		2004	0701	1	US 2	003-	7378	65		2	0031	218	
PRIO	RITY	APP:	LN.	INFO	.:					1	US 2	002-	4339	53P		P 2	0021	218	
OTHEI GI	R SOU	JRCE	(S):			MAR	PAT	141:	1236	32									

- Title compds. I [R1-3 = H, halo, haloalkyl, aryl, etc.; Q = S, O, amino; A AΒ = heterocycle, carbocycle] are prepared For instance, 3-amino-4chlorobenzamidoxime (preparation given) is reacted with 3-chlorothiophene-2carbonyl chloride (pyridine, reflux, 50 min) to give II. II and other examples are potent caspase cascade activators and inducers of apoptosis in solid tumor cells; e.g., human breast cancer cell lines T-47D and ZR-75-1.
- 161814-49-9, Amprenavir 198904-31-3, CGP-73547 ΙT RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination pharmaceutical; preparation of 3,5-Disubstituted-[1,2,4]oxadiazoles and analogs as activators of caspases and inducers of apoptosis)
- RN 161814-49-9 CAPLUS
- CN Carbamic acid, [(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-

2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 198904-31-3 CAPLUS

CN 2,5,6,10,13-Pentaazatetradecanedioic acid, 3,12-bis(1,1-dimethylethyl)-8-hydroxy-4,11-dioxo-9-(phenylmethyl)-6-[[4-(2-pyridinyl)phenyl]methyl]-, dimethyl ester, (3S,8S,9S,12S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:80349 CAPLUS

DOCUMENT NUMBER:

140:146136

TITLE:

Preparation of chemokine receptor binding

(benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-

yl) amines and related heterocyclic compounds with enhanced efficacy against AIDS and other disorders

INVENTOR(S):

Bridger, Gary; Kaller, Al; Harwig, Curtis; Skerlj, Renato; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher

D.; Di Fluri, Maria R.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 154 pp., Cont.-in-part of U.S.

Ser. No. 446,170.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA!	rent :	NO.			KIN	D	DATE			APPL	ICAT:	ION	NO.		D.	ATE	
US	2004	0190	58		A1	_	2004	0129		US 2	003-	4570	34		2	0030	606
US	2003	2203	41		<b>A</b> 1		2003	1127		US 2	002-	3293	29		2	0021	223
WO	2004	1064	93		A2		2004	1209	1	WO 2	004-1	JS15	977		2	0040	521
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑŻ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	TG													
PRIORIT	Y APP	LN.	INFO	.:						US 2	001-	3427	16P		P 2	0011	221
										US 2	002-	3508	22P		P 2	0020	117
										US 2	002-	3293	29		A2 2	0021	223
										US 2	003-	4461	70		A2 2	0030	523
					•					US 2	003-	4570	34		A 2	0030	606
OTHER S	OURCE	(S):			MAR	PAT	140:	1461	36								

OTHER SOURCE(S): GΙ

$$R^1$$
  $X$   $Z$   $Y$   $D$   $D$ 

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The invention relates to heterocyclic compds. (shown as I; e.g. (1H-benzimidazol-2-ylmethyl) (piperidin-3-ylmethyl) (5,6,7,8tetrahydroquinolin-8-yl)amine trihydrobromide) consisting of a core N atom surrounded by three pendant groups, wherein two of the three pendant groups are preferably benzimidazolylmethyl and tetrahydroquinolyl, and the 3rd pendant group contains N and optionally contains addnl. rings. compds. bind to chemokine receptors, including CXCR4 and CCR5, and demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV). Many I exhibit IC50 values of 5-5.5 nM for inhibition of HIV-1 (NL4.3) replication in peripheral blood mononuclear cells and 5 nM-5  $\mu M$  for inhibition of SDF-1 $\alpha$  induced Ca flux in CCRF-CEM cells, a T-lymphoblastoid cell line that expresses CXCR4. It is also stated that the compds. I behave in a manner similar to 1,1'-[1,4-phenylene-bis(methylene)]-bis-1,4,8,11-tetraazacyclotetradecane (AMD3100) which showed to elevate progenitor cell levels (data given). Although the methods of preparation are not claimed, >170 example prepns. are included. For I: X and Y = N or CR1; Z is S, O, NR1 or CR12; each R1-R6 = H or a noninterfering substituent; n1 is 0-4; n2 is 0-1, wherein the a signifies C.tplbond.C may be substituted for CR5:CR5; n3 is 0-4; wherein

n1 + n2 + n3 = 2; b is 0-2; wherein the following combinations of R groups may be coupled to generate a ring, which ring may be (un)saturated: R2 + R2, one R2 + R3, R3 + one R4, R4 + R4, one R5 + another R5, one R5 +one R6, and R6 + R6; wherein the ring may not be aromatic when the participants in ring formation are two R5; and wherein when n2 is 1, neither n1 nor n3 can be 0.

98642-15-0P, [3-(tert-Butoxycarbonylamino)-2-IThydroxypropyl]carbamic acid tert-butyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chemokine receptor binding benzimidazolylmethyl tetrahydroquinolinyl amines and related heterocyclic compds. with enhanced efficacy against AIDS and other disorders)

98642-15-0 CAPLUS RN

Carbamic acid, (2-hydroxy-1,3-propanediyl)bis-, bis(1,1-dimethylethyl) CN ester (9CI) (CA INDEX NAME)

ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:532661 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

139:101128

TITLE:

Preparation of chemokine receptor binding

(benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-

yl) amines and related heterocyclic compounds with enhanced efficacy against AIDS and other disorders Bridger, Gary J.; Skerlj, Renato T.; Kaller, Al;

Harwig, Curtis; Bogucki, David; Wilson, Trevor; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith,

Christopher Dennis; Di Fluri, Rosaria Maria

PATENT ASSIGNEE(S):

SOURCE:

Anormed Inc., Can.; et al. PCT Int. Appl., 360 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	NT 1	10.			KIN	D :	DATE	·	٠ .	APPL:	ICAT:	ION 1	NO.		DŽ	ATE	
WO 2	0030	)5581	76		A1		2003	0710	1	WO 2	002-ī	US41	407		20	00212	223
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,
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	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤŹ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
BR 2	0020	0150	50		Α		2004	1013		BR 2	002-	1505	0		2	0021	223
EP 1	465	889			A1		2004	1013		EP 2	002-	8059	77		2	0021	223
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
PRIORITY	APP	LN.	INFO	.:						US 2	001-	3427	16P		P 2	0011	221

OTHER SOURCE(S):

MARPAT 139:101128

GI

$$R^{1}$$
 $X$ 
 $Z$ 
 $Y$ 
 $N - (CR^{5}_{2})_{n1} - (CR^{5}:CR^{5})_{n2} - (CR^{5}_{2})_{n3} - NR^{6}_{2}$ 
 $CR^{2}_{2}$ 
 $R^{3}$ 
 $N$ 
 $N$ 
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 $R^{4}$ 

ΑB The invention relates to heterocyclic compds. (shown as I; e.g. (1H-benzimidazol-2-ylmethyl) (piperidin-3-ylmethyl) (5,6,7,8tetrahydroquinolin-8-yl)amine trihydrobromide) consisting of a core N atom surrounded by three pendant groups, wherein two of the three pendant groups are preferably benzimidazolylmethyl and tetrahydroquinolyl, and the 3rd pendant group contains N and optionally contains addnl. rings. The compds. bind to chemokine receptors, including CXCR4 and CCR5, and demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV). Many I exhibit IC50 values of 5-5.5 nM for inhibition of HIV-1 (NL4.3) replication in peripheral blood mononuclear cells and 5 nM-5  $\mu M$  for inhibition of SDF-1 $\alpha$  induced Ca flux in CCRF-CEM cells, a T-lymphoblastoid cell line that expresses CXCR4. Although the methods of preparation are not claimed, >170 example prepns. are included. For I: X and Y = N or CR1; Z is S, O, NR1 or CR12; each R1-R6 = H or a noninterfering substituent; n1 is 0-4; n2 is 0-1, wherein the a signifies C.tplbond.C may be substituted for CR5:CR5; n3 is 0-4; wherein n1 + n2 + n3 = 2; b is 0-2; wherein the following combinations of R groups may be coupled to generate a ring, which ring may be (un)saturated: R2 + R2, one R2 + R3, R3 + one R4, R4 + R4, one R5 + another R5, one R5 + one R6, and R6 + R6; wherein the ring may not be aromatic when the participants in ring formation are two R5; and wherein when n2 is 1, neither n1 nor n3 can be 0.

98642-15-OP, [3-(tert-Butoxycarbonylamino)-2hydroxypropyl]carbamic acid tert-butyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chemokine receptor binding benzimidazolylmethyl tetrahydroquinolinyl amines and related heterocyclic compds. with enhanced efficacy against AIDS and other disorders)

RN 98642-15-0 CAPLUS

CN Carbamic acid, (2-hydroxy-1,3-propanediyl)bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:238180 CAPLUS

DOCUMENT NUMBER:

138:271380

TITLE:

Preparation of 2-substituted resorcinol derivatives containing coloring agent as well as new resorcinol

derivatives

PATENT ASSIGNEE(S):

Wella AG, Germany

SOURCE:

Ger. Gebrauchsmusterschrift, 48 pp.

CODEN: GGXXFR

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20217957	U1	20030327	DE 2002-20217957	20021120
PRIORITY APPLN. INFO.:			DE 2002-20217957	20021120
OTHER SOURCE(S):	MARPAT	138:271380		
GI				

I

- A means of the coloring keratin fibers based on a developer/generator AΒ substance coupling agent combination, is characterized by the fact that it contains at least one resorcinol derivative I [R1, R2 = H, C1-6-alkyl, C2-6-alkenyl, acetyl, C1-4-alkoxy, C1-4-hydroxyalkyl, C2-4-dihydroxyalkyl, C1-4-alkoxy-C1-4-alkyl, C1-4-hydroxyalkoxy-C1-4-alkyl, C1-4-aminoalkyl, C1-4-(dimethylamino)alkyl, C1-4-(acetylamino)alkyl, C1-4-(tertbutoxycarbonyl)amino]alkyl, C1-4-cyanoalkyl, C1-4-carboxyalkyl, C1-4-(aminocarbonyl)alkyl, pyridyl Me, furfuryl, tetrahydrofurfuryl, methyltetrahydrofurfuryl, (un) substituted pyridyl, Ph, pyrazolyl, piperidinyl, morpholinyl, piperazinyl, pyrrolidinyl; R3 = H, C1-6-alkyl] or its physiol. compatible water-soluble salts. Thus, I [R1 = CH2CH2OMe, R2 = R3 = H] was prepared from resorcinol, via O-alkylation with ClCH2CH2OMe, Vilsmeier formylation, O-deprotection and reductive amination with MeOCH2CH2NH2. A hair dye was prepared containing I [R1 = CH2CH2OMe, R2 = R3 = H] and 2,5-diaminotoluene sulfate (developing agent) giving a medium blond color.
- 128729-30-6, 1,3-Bis[(4-aminophenyl)(2-hydroxyethyl)amino]-2-IT propanol

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (developer substance for coloring agent containing resorcinol derivs.; preparation of 2-substituted resorcinol derivs. containing coloring agent as well as new resorcinol derivs.)

RN 128729-30-6 CAPLUS

2-Propanol, 1,3-bis[(4-aminophenyl)(2-hydroxyethyl)amino]- (9CI) CN INDEX NAME)

$$CH_2-CH_2-OH$$
 $CH_2-CH_2-OH$ 
 $NH_2$ 
 $N-CH_2-CH-CH_2-N$ 
 $OH$ 

L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:154251 CAPLUS

DOCUMENT NUMBER:

138:205069

TITLE:

Preparation of 2H-phthalazin-1-ones as

poly(ADP-ribose)polymerase inhibitors for treatment of

cancer

INVENTOR(S):

Beaton, Graham; Moree, Wilna J.; Rueter, Jaimie K.; Dahl, Russell S.; McElligott, David L.; Goldman, Phyllis; Demaggio, Anthony J.; Christenson, Erik; Herendeen, Dan; Fowler, Kerry W.; Huang, Danwen; Bertino, Jaimie A.; Bourdon, Lisa H.; Fairfax, David J.; Jiang, Qin; Reisch, Helge A.; Song, Ren Hua;

Zhichkin, Pavel E.

PATENT ASSIGNEE(S):

SOURCE:

Icos Corporation, USA PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	rent 1	NO.			KIN	D :	DATE		į	APPL	ICAT:	ION I	NO.		D	ATE	
WO	2003	0157	 85		A1		2003	0227	7	wo 2	002-1	JS26	271		2	0020	815
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,
							SE,										
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,
		RU,	ТJ,	TM													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
							ВJ,										
		NE,	SN,	TD,	TG											•	
បន	2004	0875	88		A1		2004	0506	1	US 2	002-	2227	49		2	0020	815
EP	1423	120			<b>A</b> 1		2004	0602	1	EP 2	002-	7685	96		2	0020	815
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
PRIORIT	Y APP				•	•							40P			0010	815
	•								1	WO 2	002-	US26	271	1	w 2	0020	815

OTHER SOURCE(S):

MARPAT 138:205069

GI

Title compds. and derivs. thereof I [wherein Q1 and Q2 = independently N AB or CRa; Ra = H, halo, NO2, or alkyl; R = H, alkyl, or N-protecting group; Y = NR1R2, R3C(=X1)Y1, (alkylene)x-NR11R12NR13[C(=X3)]c(NR14)d(R15)e[C(=X4)])]fR16, or NR11R12N=CR20R21; R1, R14, and R20 = independently H or alkyl; R2 = arylcarbonyl, heteroalkyl, cyclo(alkyl), alkenyl, alkynyl, etc.; R3 = alkylene; X1, X3, and X4 = independently O or S; Y1 = NR4R5; R4 = H, (hetero)alkyl, or aralkyl; R5 = (un)substituted aralkyl, heteroalkyl, heterocyclyl, heteroaryl(alkyl), arylsulfonylamino, etc.; x = 0-1; R11 = H, alkyl, or (un) substituted heteroaralkyl; R12 = (cyclo) alkylene, heteroalkylene, aralkylene, or arylene; or NR11R12 = (un)substituted heterocyclyl; c = 0-2; d-f = independently 0-1; R13 = H, alkyl, arylcarbamoylalkylene, etc.; R15 = (hetero)alkylene or alkenylene; R16 = H, (un)substituted (hetero)aryl, (hetero)alkyl, cycloalkyl, aralkoxy, amino, arylsulfonylamino, etc.; R21 = alkyl, or substituted heteroaryl; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors (no data). For example, condensation of 1,3-propanediamine with phthalic anhydride in EtOH gave 3,4-dihydropyrimido[1,2-a]indol-10(2H)-one, which was dissolved in ethylene glycol and reacted with NH2NH2⊕H2O to afford II (51%). I are useful for radiosensitizing and chemosensitizing tumor cells for the treatment of cancer (no data).

IT 500026-71-1P, 2-Hydroxy-N-[2-hydroxy
 -3-[(4-oxo-3,4-dihydrophthalazin-1-yl)amino]propyl]-4methylsulfanylbutyramide monohydrochloride 500026-76-6P,
 3-[3-(2,3-Dihydrobenzofuran-5-yl)-[1,2,4]oxadiazol-5-yl]-N-[2-hydroxy-3-[(4-oxo-3,4-dihydrophthalazin-1-yl)amino]propyl]propionamide monohydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PARP inhibitor; preparation of phthalazinone PARP inhibitors for treatment of cancer)

RN 500026-71-1 CAPLUS

CN Butanamide, N-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)amino]-2hydroxypropyl]-2-hydroxy-4-(methylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 500026-76-6 CAPLUS

CN 1,2,4-Oxadiazole-5-propanamide, 3-(2,3-dihydro-5-benzofuranyl)-N-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)amino]-2-hydroxypropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

## ● HCl

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:927188 CAPLUS

DOCUMENT NUMBER:

138:14005

TITLE:

Preparation of 5-aralkylsulfonyl-3-(pyrrol-2-

ylmethylidene)-2-indolinone derivatives as kinase

inhibitors

INVENTOR(S):

Cui, Jingrong; Ramphal, Yudhi; Liang, Congxin; Sun,

Li; Wei, Chung Chen; Tang, Peng Cho

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 479 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA?	ENT 1	.00			KIN	D	DATE		1	APPL	ICAT	ION	NO.		D.	ATE	
		2002								1	WO 2	002-	US16	841		2	0020	530
	WO	2002	0963	61		A3		2003	0313									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
			ТJ,	MT														
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US	2003	1253	70		<b>A</b> 1		2003	0703	•	US 2	002-	1570	07		2	0020	530
	US	6599	902			В2		2003	0729					-				
PRIOR	TI	APP	LN.	INFO	.:						US 2	001-	2945	44P		P 2	0010	530
											US 2	001-	3284	08P		P 2	0011	010
OTHER	90	HIRCE	191.			MAR	РΔТ	138.	1400	5								

OTHER SOURCE(S):

MARPAT 138:14005

GI

$$R^{3}$$

$$R^{6}$$

$$R^{7}$$

$$R^{8}$$

$$R^{8}$$

$$R^{7}$$

$$R^{8}$$

$$R^{9}$$

$$R^{9}$$

$$R^{9}$$

The present invention relates to certain 5-aralkylsulfonyl-3-(pyrrol-2-AΒ ylmethylidene)-2-indolinone derivs. (shown as I; see below for variable definitions; e.g. 2,4-dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2dihydroindol-(3Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide) that inhibit kinases (no data), in particular met kinase. Pharmaceutical compns. comprising these compds., methods of treating diseases mediated by kinases using pharmaceutical compns. comprising these compds., and methods of preparing them are also disclosed. In I: n = 0-2; m = 1-3; R1 and R2 = H or alkyl; R3, R4, and R5 = H, halo, alkyl, cycloalkyl, haloalkyl, hydroxy, alkoxy, alkoxycarbonyl, haloalkoxy, cyano, carboxy, carboxyalkyl, nitro, aryl, aryloxy, heteroaryl, heteroaryloxy, -(alkylene)-CONR10R11, -CONR10R11, or - NR10R11 (R10 is H or alkyl, and R11 is aryl, heteroaryl, heterocycle, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, aralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy, or R10 and R11 together with the N atom to which they are attached combine to form saturated or unsatd. heterocycloamino). R6 is H, alkyl, cycloalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, carboxyalkyl, heterocyclylalkyl, aryl, heteroaryl, carboxy, alkoxycarbonyl, heterocyclylcarbonyl, aminoalkylcarbonyl, alkylaminoalkylcarbonyl, dialkylaminoalkylcarbonyl, -CONR10R11 or -(alkylene)-CONR10R11. R7 and R8 = H, alkyl, cycloalkyl, heterocyclylalkyl, -COR12, -(alkylene)-COR12 (R12 = alkoxy, hydroxy, or heterocycle, alkylamino, dialkylamino), -SO2R14, -CONR13R14; or -(alkylene)-CONR13R14 (R13 is H or alkyl, and R14 is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s), or when R13 and R14 are attached to a N atom R13 and R14 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino). R6 and R7 or R7 and R8 can combine to form a saturated or unsatd. 5 to 8 membered ring; and R9 is: H or alkyl; -PO(OR15)2 where each R15 = H or alkyl; -COR16 where R16 is H or alkyl; or -CHR17NR18R19 where R17 is H or alkyl, and R18 and R19 = H or alkyl or R18 and R19 together with the N atom to which they are attached form heterocycloamino. Although the methods of preparation are not claimed, 375 example prepns. of I plus addnl. prepns. of intermediates are included. 477574-59-7P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-IT dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (3-diethylamino-2-hydroxypropyl) amide 477576-52-6P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-cyclopropylamino-2-hydroxypropyl) amide 477576-62-8P, N-(3-Cyclopropylamino-2-hydroxypropyl)-2-[5-[5-(2,6dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-

2,4-dimethyl-1H-pyrrol-3-yl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidenesubstituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477574-59-7 CAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(diethylamino)-2-hydroxypropyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-52-6 CAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-62-8 CAPLUS

CN 1H-Pyrrole-3-acetamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:733860 CAPLUS 137:252674

CODEN: GWXXBX

DOCUMENT NUMBER: TITLE:

Synthesis of 1,3-diamino-4-(aminomethyl)-benzene

derivates and their use in oxidative hair dyes

INVENTOR(S):

Chassot, Laurent; Braun, Hans-Juergen

PATENT ASSIGNEE(S):

Wella AG, Germany

SOURCE:

Ger. Offen., 16 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

P.	ATENT :	NO.			KIN	D	DATE		•	APPL	ICAT:	ION I	NO.		D	ATE	
D	E 1011	4084			A1	_	2002	0926		DE 2	001-	1011	4084		2	00103	322
C.	A 2443	289			AA		2002	1003		CA 2	001-	2443	289		2	0011	019
W	0 2002	0769	23		A1		2002	1003	1	WO 2	001-	EP12	124		2	0011	019
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LŔ,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,
							ZW,										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
В	R 2001	0109	57		Α		2003	0408		BR 2	001-	1095	7		2	0011	019
E	P 1370	514			A1		2003	1217		EP 2	001-	2740	20		2	0011	019
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
J	P 2004	5187	62		Т2		2004	0624		JP 2	002-	5761	86		. 2	0011	019
U	s 2003	1724	71		A1		2003	0918		US 2	002-	2765	67		2	0021	114
PRIORI	TY APP	LN.	INFO	.:						DE 2	001-	1011	4084	i	A 2	0010	322
•	•									WO 2	001-	EP12	124	1	w 2	0011	019
							100		- 4								

MARPAT 137:252674 OTHER SOURCE(S):

The invention concerns the synthesis of 1,3-diamino-4-(aminomethyl)benzene derivates and their use as coupling agents in oxidative hair dyes. The hair prepns. further contain developers, other coupling agents and direct dyes. Thus 1,3-diamino-4-(methylaminomethyl)-benzene hydrochloride was synthesized and used as a 1.25 mmol coupler ingredient in a hair dye that contained 1.25 mmol 1,4-diamino benzene as developer. Further ingredients were (g); potassium oleate ( 8% aqueous solution) 1.0; ammonia (22% aqueous solution) 1.0; ethanol 1.0; ascorbic acid 0.3; water to 100.

IT 128729-30-6, 1,3-Bis[(4-aminophenyl)(2-hydroxyethyl)amino]-2propanol

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)

(synthesis of 1,3-diamino-4-(aminomethyl)-benzene derivates and use in oxidative hair dyes)

RN128729-30-6 CAPLUS

2-Propanol, 1,3-bis[(4-aminophenyl)(2-hydroxyethyl)amino]- (9CI) CN INDEX NAME)

$$CH_2-CH_2-OH$$
 $CH_2-CH_2-OH$ 
 $N-CH_2-CH-CH_2-N$ 
 $N-CH_2-CH_2-N$ 

ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:695962 CAPLUS

DOCUMENT NUMBER:

137:232680

TITLE:

Preparation of aryl and heteroaryl urea selective Chkl

inhibitors for use as radiosensitizers and

chemosensitizers for treating diseases and conditions

related to DNA damage or lesions in DNA replication

INVENTOR(S):

Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John

Joseph; Cook, Adam Wade; Cowen, Scott Douglas;

Burgess, Laurence Edward

PATENT ASSIGNEE(S):

Icos Corporation, USA

SOURCE:

PCT Int. Appl., 236 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	rent :	NO.			KIN	)	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
WO	2002	0704	94		A1	_	2002	0912	1	WO 2	002-	us64.	52		2	0020	301	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
CA	2439	709			AA		2002	0912		CA 2	002-	2439	709		2	0020	301	
US	2003	0692	84		<b>A</b> 1		2003	0410		US 2	002-	8771	5		2	0020	301	
EP	1379	510			A1		2004	0114		EP 2	002-	7283	96		2	0020	301	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						•	
JP	2004	5235	68		Т2		2004	0805		JP 2	002-	5698	14		2	0020	301	
ZA	2003	0067	21		Α		2004	0503		ZA 2	003-	6721			2	0030	828	
NO	2003	0038	58		Α		2003	1010		NO 2	003-	3858			2	0030	901	
PRIORIT	Y APP	LN.	INFO	.:						US 2	001-	2731	24P		P 2	0010	302	
									,	WO 2	002-	US64	52	1	W 2	0020	301	
OTHER S	OURCE	(S):			MAR	PAT	137:	2326	80									

MARPAT 137:232680

Aryl- and heteroaryl substituted urea compds. (W'NHC(:Y')N(R13)Z'; 1) useful in the treatment of diseases and conditions related to DNA damage

or lesions in DNA replication are disclosed. In 1, W' is a six-membered aromatic ring containing at least 2 nitrogen atoms (e.g. pyrazinyl, pyrimidinyl,

pyridazinyl, 1,2,4-triazinyl, quinoxalinyl) and optionally substituted as defined in the claims, Z' is a five- or six membered aromatic or heteroarom. ring as defined in the claims, Y' is O or S. The first claim contains a much more general formula WX1C(:Y)X2Z (e.g. X1 = null, O, S, CH2, NR1; X2 = O, S, NR1) but emphasis is on 1. Methods of making the compds., and their use as therapeutic agents, for example, in treating cancer and other diseases characterized by defects in DNA replication, chromosome segregation, or cell division also are described. Although the methods of preparation are not claimed, about 200 example prepns. are included. N-(2-methoxy-5-methylphenyl)-N'-(2-pyrazinyl)urea and N-(4-chloro-2methoxyphenyl)-N'-(2-pyrazinyl)urea enhanced the killing of various human cells by 5-fluorouracil from 2- to 10-fold; in HeLa cells, these same compds. enhanced killing by irradiation 2-3 fold.

IT **5966-51-8**, 1,3-Bis (dimethylamino) propan-2-ol

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of aryl and heteroaryl urea selective Chkl inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in DNA replication)

5966-51-8 CAPLUS RN

2-Propanol, 1,3-bis(dimethylamino)- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME) CN

ОН  $Me_2N-CH_2-CH-CH_2-NMe_2$ 

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:107007 CAPLUS

DOCUMENT NUMBER:

136:156183

TITLE:

Oxydative hair dyes containing derivatives of 2,5-Diamino-1-(1'-hydroxyalkyl)-benzene and

4,5-diaminopyrazole

INVENTOR(S):

Chassot, Laurent; Goettel, Otto; Braun, Hans-Juergen

PATENT ASSIGNEE(S):

Wella A.-G., Germany Ger. Offen., 8 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10037158	A1	20020207	DE 2000-10037158	20000728
PRIORITY APPLN. INFO.:			DE 2000-10037158	20000728
OTHER SOURCE(S):	MARPAT	136:156183		

GΙ

AB The invention concerns oxidative hair dyes that contain 2,5-diamino benzene derivs. (I), especially 1,4-Diamino-2-(1-hydroxyethyl)benzene, 1,4-Diamino-2-(1-hydroxpropyl)benzene and 4,5-diaminopyrazole derivs. (II). The dye compns. further contain couplers and direct dyes. Thus a composition contained (g): 1,4-Diamino-2-(1-hydroxpropyl)benzene 0.3; 4,5-diamino-1(2-hydroxyethyl)-pyrazole sulfate 0.3; 1,3-dihydroxybenzene 0.2; 1-naphthol 0.3; sodium oleate (8% aqueous solution) 10.0; ammonia (22% aqueous

solution) 10.0; ethanol 10.0; ascorbic acid 0.3; water to 100.0. Directly before application, 30 g of the composition was mixed with 30 g 6% hydrogen peroxide solution; the product resulted red-brown hair color.

IT 128729-30-6

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (oxydative hair dyes containing derivs. of 2,5-Diamino-1-(1'-hydroxyalkyl)-benzene and 4,5-diaminopyrazole)

RN 128729-30-6 CAPLUS

CN 2-Propanol, 1,3-bis[(4-aminophenyl)(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:729680 CAPLUS

DOCUMENT NUMBER:

135:288588

TITLE:

(m-Diaminophenyl) acrylamide derivatives and hair

coloring agents containing these compounds

PATENT ASSIGNEE(S):

Wella AG, Germany

SOURCE:

Ger. Gebrauchsmusterschrift, 48 pp.

CODEN: GGXXFR

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20111037	U1	20011004	DE 2001-20111037	20010704
PRIORITY APPLN. INFO.:			DE 2001-20111037	20010704
OTHER SOURCE(S):	MARPAT	135:288588		

GΙ

$$R^4$$
  $R^2$   $R^3$   $R^1$   $R_1$   $R_2$   $R_3$   $R_4$   $R_4$   $R_5$   $R_7$   $R_8$ 

AB (m-Diaminophenyl)acrylamide derivs. I [R1, R2 = H, C1-2 alkoxy, C1-6 alkyl, unsatd. C3-6 alkyl, C2-4 hydroxyalkyl, C3-4 dihydroxyalkyl, C2-4 aminoalkyl, a C2-4 dimethylaminoalkyl, C2-4 acetylaminoalkyl, a C2-4 methoxyalkyl, C2-4 ethoxyalkyl, C1-4 cyanoalkyl, C1-4 carboxyalkyl, C2-4 aminocarbonylalkyl, pyridylmethyl, furfuryl, hydrogenated furfuryl, substituted pyridyl, (un) substituted Et, (un) substituted Ph, substituted aminopyrazolyl; or R1 and R2 together with the N atom form a ring; R3, R4 = H, C1-4 alkyl; preferably, R3 = R4 = H, or R1, R2 and R4 = H, R2 =aminophenyl, hydroxyphenyl] or their physiol. compatible, water-soluble salts, useful in oxidative hair dyes based on a developer substance-coupling substance combination in one suitable cosmetic carrier, are claimed. Preferred compds. I are 3-(2,4-diaminophenyl)-1morpholinopropenone, 3-(2,4-diaminophenyl)-N-(4-hydroxyphenyl)acrylamide, 3-(3,5-diaminophenyl)-N-(4-hydroxyphenyl)acrylamide, N-(3-aminophenyl)-3-(3,5-diaminophenyl)acrylamide and N-(4-aminophenyl)-3-(3,5diaminophenyl)acrylamide, or their physiol. acceptable salts (prepns. given). In examples given, compds. I are formulated with one or more known developer substances and one or more known addnl. coupling substances to give various shades of color when applied to hair; e.g., 0.10 g 3-(2,4-diaminophenyl)-1-morpholinopropenone HCl salt, 0.30 g 1,4-diaminobenzene, 0.05 g 1,3-diamino-4-(2-hydroxyethyl)aminoanisole sulfate, and 0.05 g 3-aminophenol (formulation given) afforded blond hair. 128729-30-6, 1,3-Bis[(4-aminophenyl)(2-hydroxyethyl)amino]-2-IT

propanol
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES
(Üses)

(developer substance component in oxidative hair dye based on developer-coupling substance combination)

RN 128729-30-6 CAPLUS

CN 2-Propanol, 1,3-bis[(4-aminophenyl)(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:297450 CAPLUS

DOCUMENT NUMBER:

134:315863

TITLE:

Composition for oxidative dyeing of keratinous fibers comprising amino-alkylphenol, para-phenylenediamine,

and meta-aminophenol derivatives

INVENTOR(S):

Pastore, Florent; Lagrange, Alain

PATENT ASSIGNEE(S):

L'Oreal, Fr.

SOURCE: Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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EP	1093	792			<b>A</b> 1		2001	0425	EP	2000-	40278	32			20001	009
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		IE,	, SI,	LT,	LV,	FI	, RO									
FR	2799	958		-	A1		2001	0427	FR	1999-	1314	4			19991	021
FR	2799	958			B1		2001	1221								
US	653,0	960			B1		2003	0311	US	2000-	6925	39			20001	020
JP	2001	1516	549		A2		2001	0605	JP	2000-	3233	94			20001	023
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OTHER SOURCE(S): MARPAT 134:315863

AB Oxidative hair dye compns. comprise amino-alkylphenol,

para-phenylenediamine, and meta-aminophenol derivs. A hair dye composition contained para-phenylenediamine 3x10-3 mole, N-(2-hydroxy)

-4-methylphenyl) acetamide 1.5x10-3 mole, 2,4-diamino-1(β-

hydroxyethyloxy) benzene dihydrochloride 1.5x10-3, excipients and water

q.s. 100 g. At the time of use equal amount of the composition is mixed with

volume hydrogen peroxide and applied on the hair for 30 min., the hair is then rinsed with water, washed with shampoo, rinsed and dried to obtain a dark blue color.

IT 335157-55-6

20

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES

(composition for oxidative dyeing of keratinous fibers comprising amino-alkylphenol, para-phenylenediamine, and meta-aminophenol derivs.)

RN 335157-55-6 CAPLUS

CN Phenol, 4,4'-[(2-hydroxy-1,3-propanediyl)bis[(2-hydroxyethyl)imino]]bis-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{CH}_2-\text{CH}_2-\text{OH} \\ & & \text{CH}_2-\text{CH}_2-\text{OH} \\ & & \text{N-CH}_2-\text{CH-CH}_2-\text{N} \\ & & \text{OH} \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:457043 CAPLUS

DOCUMENT NUMBER: 133:89537

TITLE: Preparation of 2,4-pyrimidinediamine derivatives as

anticancer agents

INVENTOR(S): Bradbury, Robert Hugh; Breault, Gloria Anne; Jewsbury,

Philip John; Pease, Janet Elizabeth

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO	2000	0391	01		A1	_	2000	0706				-GB43			1	9991	220
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												, NL,					
												, TD,					
CA	2352				AA							-2352			1	9991	220
EP	1140	860					2001	1010		EΡ	1999	-9623	75		1	9991	220
EP	1140	860			B1		2004	0922									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, II	, LI,	LU,	NL,	SE,	MC,	PT,
		IE,			LV,												
	9916									BR	1999	-1659	0		1	9991	220
JP	2002	5334	46		Т2		2002	1008		JΡ	2000	-5910	12		1	9991	220
	7630				B2		2003	0710				-1874					
NZ	5121	18			Α		2003	0829		•		-5121				9991	
AT	2770	20			E		2004					-9623					
	2001				Α		2002					-4413				0010	
	2001		38		Α		2001					-3038				0010	
	6593				В1		2003	0715				-8686				0010	
PRIORITY	Y APP	LN.	INFO	.:								-2851				9981	
									_	WO	1999	-GB43	25	1	W 1	9991	220
OTHER SO	DURCE	(S):			MAR	PAT	133:	89531	7								

AB The present invention relates to the title compds. (I) [wherein R1 = H, (un)substituted alkyl, alkenyl, or alkynyl, benzyl, 2-phenylethyl, phthalimidoalkyl, or cycloalkylalkyl; Rx = halo, OH, NO2, NH2, CN, SH, CO2H, SO2NH2, NHCHO, ureido, etc.; Q1 and Q2 = independently (un)substituted aryl, 5- or 6-membered monocycle, or 9- or 10-membered bicyclic heterocycle], processes for their manufacture, and pharmaceutical compns. containing them. For example, addition of 4-[2-hydroxy -3-(N,N-dimethylamino)propoxy]aniline•HCl in MeOH to 5-bromo-2-chloro-4-(indan-5-ylamino)pyrimidine in BuOH (prepns. given) and heating to 100°C for 18 h gave II (42%). I inhibited the effects of cylin-dependent serine/threonine kinases (CDKs), showing selectivity for CDK2 (no data), CDK4 (IC50 ranging from 0.02 μM to 0.07 μM), and CDK6 (no data). In a tyrosine kinase activity assay using Sf21 cells

transfected with plaque-pure FAK recombinant virus, I also inhibited focal adhesion kinase 3 (FAK3) with IC50 ranging from 0.032  $\mu\text{M}$  to 0.07  $\mu\text{M}$ . Typical IC50 values for I when tested for inhibition of cell growth in an Sulforhodamine B (SRB) assay were in the range of 1 mM to 1 nM. Thus, I possess anti-cancer properties, including anti-cell-migration, antiproliferation and/or apoptotic properties. Such properties are expected to be of value in the treatment of disease states associated with aberrant cell cycles and cell proliferation such as cancers (solid tumors and leukemias), fibroproliferative and differentiative disorders, psoriasis, rheumatoid arthritis, Kaposi's sarcoma, hemangioma, acute and chronic nephropathies, atheroma, atherosclerosis, arterial restenosis, autoimmune diseases, acute and chronic inflammation, bone diseases, and ocular diseases with retinal vessel proliferation.

(preparation of 2,4-pyrimidinediamine anticancer agents by coupling halopyrimidines with anilines and optional derivatization)

RN 280581-35-3 CAPLUS

CN

2-Propanol, 1-[[4-[[5-bromo-4-(phenylamino)-2-pyrimidinyl]amino]phenyl]methylamino]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

IT 260045-58-7P,  $4-\{N-[2-Hydroxy-3-(N,N-$ 

dimethylamino)propyl]-N-methylamino}nitrobenzene 260045-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of 2,4-pyrimidinediamine anticancer agents by coupling halopyrimidines with anilines and optional derivatization)

RN 260045-58-7 CAPLUS

CN 2-Propanol, 1-(dimethylamino)-3-[methyl(4-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{OH} \\ | & | \\ \text{N-CH}_2\text{-CH-CH}_2\text{-NMe}_2 \\ \\ \text{O}_2\text{N} \end{array}$$

RN 260045-59-8 CAPLUS

CN 2-Propanol, 1-[(4-aminophenyl)methylamino]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1964:16680 CAPLUS

DOCUMENT NUMBER: 60:16680

ORIGINAL REFERENCE NO.: 60:2925a-h,2926a-d

TITLE: Cyclization reactions of butadiene dioxide with

hydrazines to new derivatives of pyrazolidine and

piperidazine

AUTHOR(S): Meyer, H. R.; Gabler, R.

CORPORATE SOURCE: Dewey Almy A.-G., Zuerich, Switz.

SOURCE: Helvetica Chimica Acta (1963), 46(7), 2685-97

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal LANGUAGE: German

OTHER SOURCE(S): CASREACT 60:16680
GI For diagram(s), see printed CA Issue.

dl- and meso-Butadiene dioxide (I) with various hydrazines yielded 1:1 AB adducts having either a pyrazolidine or piperidazine structure; with N,N' disubstituted hydrazines, pyrazolidine derivs. were obtained in 70-80% yields, whereas N2H4 with dl-I yielded about 20% trans-4,5dihydroxypiperidazine (II) and a small amount of trans-2,3-trans-7,8tetrahydroxy-10-azaquinolizidine (III). The structures of representatives of the 5- and 6-membered ring systems were proved by their conversion into open-chain diamines, which were identified by independent syntheses or by conversion to known compds. The assignments of the other 1:1 adducts to the pyrazolidine or the piperidazine series, resp., were made on the basis of the n.m.r. spectra. (PhNH)2 (14.9 g.) in 6.9 g. dl-I heated 20 hrs. at 100°, dissolved in 80 cc. C6H6, and diluted with 40 cc. warm petr. ether gave 16.5 g. trans-isomer (IV) of V (R = Ph), m. 112° (C6H6 and petr. ether or CCl4). IV in EtOH acidified with dilute HCl gave an intense blue color which changed gradually to green. IV (1.00 q.) in 10 cc. Ac20 and 10 cc. C5H5N heated 15 min. on the water bath, cooled, diluted with a little H2O, and evaporated gave 1.02 g. diacetate,

viscous, yellow oil, b0.02 169°. meso-I (2.15 g.) and 4.6 g. (PhNH)2 yielded similarly 4.9 q. (crude) cis isomer of IV, m. 111° (C6H6 or CCl4). dl-I (4.3 q.) added dropwise with stirring at 20-30° to 23.4 g. 14.1% aqueous (MeNH)2, kept some time, concentrated, and distilled twice gave 6.7 g. trans-isomer (VI) of V (R = Me), collected in 3 fractions at 105°/0.02 mm., n20D 1.5037 (2.0 g.), n20D 1.5039 (3.8 g.), and n20D 1.5044 (0.8 g.). VI purified through the picrate, m. (iso-PrOH), showed n20D 1.5039 and crystallized upon long storage. VI diacetate bl0 136°, n20D 1.4589; picrate m. 158° (Me2CO-EtOH). meso-I (4.3 g.) gave similarly, with 23.4 g. 14.1% aqueous (MeNH)2, 5.8 g. cis isomer (VII) of VI, b0.1 109°, n20D 1.5041; picrate m. 136° (iso-PrOH). VII diacetate bl2 139-41°; picrate m. 115° (Me2CO-EtOH). dl-I (8.6 g.) added dropwise with stirring at 80-100° to 10.3 g. 86% pure (EtNH)2 yielded 13.3 g. viscous, yellowish oily V (R = Et) b0.05 107°, n20D 1.4903, m. 51°. dl-I (51.7 g.) added dropwise with stirring below 30° to 57.7 g. N2H4 during about 1 hr. and evaporated, and the residue dissolved in 200 cc. warm EtOH and kept overnight yielded 15.6 g. II, m. 233°

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(decomposition) (aqueous EtOH and sublimed in vacuo at 180°); the filtrate
     from II distilled gave 13.1 g. fraction, b0.5 155-60° [picrate, m.
     220° (decomposition) (H2O)]. II (1.4 g.) acetylated in 50 cc. 1:1
     Ac20-C5H5N yielded 3.05 g. tetra-Ac derivative, m. 136° (C6H6-petr.
     ether), dl-I (25.8 g.) added dropwise with stirring at 25-30° to
     9.6 q. N2H4 as a 30% aqueous solution, cooled, and filtered gave 2.0 g.
III.H2O,
     m. 303° (decomposition) (1:1 EtOH-H2O). II (11.8 g.) in 40 cc. H2O
     treated during 15 min. with cooling with 12.9 g. dl-I, kept overnight, and
     filtered yielded 4.7 g. III.H2O, m. 304° (decomposition) (H2O), acetylated to tetra-Ac derivative of III, m. 264° (CHCl3-EtOH). meso-I (25.8 g.) added dropwise during 0.5 hr. at 25-30° with stirring to
     28.8 g. N2H4 as a 20% aqueous solution and evaporated, and the viscous residue
     dissolved in 100 cc. warm absolute EtOH, kept 48 hrs., and filtered gave 15.8
     g. 1:1 adduct (VIII), m. 131-2°, consisting of at least 2
     substances; by extraction with boiling EtOH a product, C4H10N2O2, m.
     146° (90-5% EtOH), was isolated from the mixture; it decomposed within
     3 months to a brown liquid. II (5.9 g.), 11.5 g. HCO2H, and 8.7 g. 38% aqueous
     CH2O heated carefully on the water bath and then 2 hrs. at 100°,
     treated with 10 cc. concentrated HCl, and evaporated, and the residue in a
     H2O passed through strongly basic Amberlite IR-410 gave 5.4 g. 1,2-di-Me
     derivative (IX) of II, b0.1 112-17°, m. 110° (Me2CO); picrate
     m. 125° (iso-PrOH); IX diacetate b9 139-40°, n20D 1.4642
     [picrate, m. 202° (decomposition) (Me2CO-EtOH)]. dl-I (8.6 g.) added
     dropwise during about 0.5 hr. at 25-30° to 575 g. 29.5% NH4OH, kept
     overnight, and evaporated, and the residue distilled yielded 9.4 g. [H2NCH2CH(OH)]2 (X), b0.05 146°, m. 106°, purified via X.2HCl, m. 185° [X.2HCl dipicrate m. 211° (decomposition) (H2O)].
     Tetra-Ac (XI) derivative of X m. 179° (AcOEt). Ac2O (10.7 g.) added
     dropwise with stirring and cooling to 6.0 g. X, heated 10 min. on the
     water bath, dissolved in 100 cc. EtOH, concentrated, and cooled yielded 7.7 g. di-Ac derivative (XII) of X, m. 184° (decomposition) (EtOH). II (2.36 g.)
     in 30 cc. H2O hydrogenated 1 hr. at 100°/170 atmospheric over 2.5 cc.
     Raney Ni yielded 2.2 g. crude X. meso-I (4.3 g.) and 115 g. 29.5% NH4OH
     gave similarly 3.0 g. meso-[H2NCH2CH(OH)]2, m. 189° (MeOH)
     (sublimed in vacuo at about 180°). XII (168.3 mg.) treated with
     100 cc. 0.4% aqueous KIO4 was oxidized in less than 5 min. XII (408 mg.) and
     632 mg. KMnO4 in 20 cc. H2O heated 5 min. on the water bath, filtered,
     passed through weakly acidic Amberlite-IRC-50, and evaporated, and the residue
     (320 mg.) dissolved in 20 cc. warm EtOH, filtered, concentrated, and cooled
gave
     55 mg. AcNHCH2CO2H, m. 207.5° (EtOH). DL-I (4.3 g.) added dropwise
     with stirring and cooling at 25-30° during 0.5 hr. to 159 g. 39%
     aqueous MeNH2 and evaporated after 0.5 hr., and the residue sublimed in vacuo
at
     190° yielded 6.4 g. [MeNHCH2CH(OH)]2 (XIII), m. 144° (MeOH);
     XIII.2HCl m. 214° (aqueous EtOH). IX (1.46 g.) in 30 cc. H2O
     hydrogenated 2 hrs. at 100°/150 atmospheric over 1.46 cc. Raney Ni gave
     0.59 g. XIII, m. 144°. meso-I (1.72 g.) added dropwise with
     stirring at 25-30° during 15 min. to 79.5 g. 39% aqueous MeNH2 yielded
     2.55 g. meso-isomer (XIV) of XIII, m. 168° (EtOH); XIV.2HCl, m.
          (aqueous EtOH). VI (7.3 g.) in 30 cc. H2O hydrogenated 5 hrs. at
     100°/145 atmospheric over 7.3 g. Raney Ni gave 4.9 g. erythro-
     MeNHCH2CH(OH)CH(NHMe)CH2OH(XV), m. 110° (MeOH and sublimed in vacuo at 106°); dipicrate m. 165° (H2O).
     ClCH2CH(OH)CHClCH2OH (1.59 g.), m. 63° (Et2O), in 79.5 g. 39% aqueous
     MeNH2 kept 2.5 hrs. at room temperature and evaporated, the residue dissolved
in a
     little H2O, passed through 50 cc. strongly basic Dowex 1, and eluted with
     H20 to neutrality, and the eluate concentrated and distilled yielded 1.20 g.
oily
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distillate, which dissolved in 8 cc. C6H6 and kept 4 hrs. at room temperature yielded 0.20 g. XV, m. 108-9° (MePh); the mother liquor evaporated, and the oily residue in 20 cc. refluxing EtOH treated with picric acid in portions to pH about 4 and cooled yielded 2.71 g. (crude) dipicrate of erythro-MeNHCH2CH(NHMe)CH(OH)CH2OH (XVI), m. 183° (H2O). erythro-ClCH2CHClCH(OH)CH2OH (1.59 g.), m. 69° (C6H6), in 77.8 g.

40% aqueous MeNH2 kept 2.5 days at room temperature and evaporated, the residue passed

through 50 cc. strongly basic Dowex 1 and distilled, and the distillate dissolved in 7 cc. hot C6H6 and cooled to 25° deposited 0.68 g. crude XV, needles, m. 104°; the mother liquor evaporated, the oily residue dissolved in 13 cc. EtOH, and the boiling alc. solution adjusted with picric acid to pH about 4 yielded 1.10 g. crude picrate of XVI, m. 183° (H2O). VIII (3.0 g.) in 30 cc. H2O hydrogenated 5 hrs. at 100°/158 atmospheric over 3.0 g. Raney Ni, and the oily product treated in EtOH with picric acid yielded 9.7 g. picrate of the threo-isomer (XVII) of XV, m. 171-2° (H2O); the picrate passed through Dowex I gave XVII, b0.1 108°, n20D 1.4903.

IT 94264-64-9, 1,3-Butanediol, 2,4-bis(methylamino)-, dipicrate (preparation of)

RN 94264-64-9 CAPLUS

CN 1,3-Butanediol, 2,4-bis(methylamino)-, dipicrate (7CI) (CA INDEX NAME)

CM 1

CRN 89280-67-1 CMF C6 H16 N2 O2

$$\begin{array}{c|c} & \text{OH} & \text{NHMe} \\ & | & | \\ & \text{MeNH-CH}_2\text{-CH-CH-CH}_2\text{-OH} \end{array}$$

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

L5 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1947:19501 CAPLUS

DOCUMENT NUMBER:

41:19501

ORIGINAL REFERENCE NO.:

41:3902d-i,3903a-i,3904a-i,3905a-i,3906a-i,3907a-

i,3908a-i,3909a-i,3910a-i,3911a-i,3912a-h

TITLE:

New growth-regulating compounds. I. Summary of

growth-inhibitory activities of some organic compounds

as determined by three tests

AUTHOR(S):

Thompson, H. E.; Swanson, Carl P.; Norman, A. G.

CORPORATE SOURCE: Camp Detrick, Frederick, MD

SOURCE:

Botanical Gazette (Chicago) (1946), 107, 476-507

CODEN: BOGAA5; ISSN: 0006-8071

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB cf. Newman, et al. C.A. 41, 3774i. Growth-regulating substances were prepared and subjected to 3 tests. In each a common reference material, (2,4-dichlorophenoxy) acetic acid (I), was employed and the results of any test were expressed as a percentage of the inhibition produced concurrently by I. The primary test, Test A (Corn Germination Test), involved the determination of inhibition of elongation of the primary root of germinating corn. Corn grains were germinated at 27° in Petri dishes containing 20 mL. of an aqueous solution of the compound to be tested

concentration of 10 p.p.m. After 4 days of growth the length of the primary root

of each plant was measured. Inhibition of growth was determined by subtracting the average length of the primary roots of the treated seeds from that of the control seeds, expressed in percentage. In Test B (Kidney-Bean Single-Droplet Water Test) kidney beans were placed in pots containing 1 lb. soil. After 7-10 days each plant was treated with 0.02 mL. of an aqueous solution containing 200 p.p.m. (4  $\gamma$ ) of the compound to be tested and 0.5% of Carbowax 1500. Treatment was applied to the upper surface of one of the primary leaves at a point along the midrib approx. one-eighth in. from the point of attachment of the blade and petiole. On the 10th day after treatment the fresh weight of that portion of each plant above the second node was determined Controls untreated and also treated with I were included in each test. Test C (Kidney-Bean Single-Droplet Oil Test) was essentially the same as Test B but 0.01 mL. of solution was applied containing 5γ in oil of the compound to be tested. Tri-Bu phosphate, at a concentration of 0.2%, was used as a co-solvent for compds. not directly soluble or miscible with oil. The introduction of I could be accomplished only in this way. Close numerical agreement was not necessarily expected between the 3 tests. The degree of inhibition produced by I in Tests B and C at different times of the year was not wholly identical and was affected by rate of growth. Test A was the most reproducible and formed the primary basis for detection of inhibitory activity and was reliable in separating those compds. that possess a high inhibitory activity for most broad-leaved plants from those with little or no activity at the same concentration Satisfactory agreement was found between Tests A and B with discrepancies in the direction of a lower activity by Test B. Variation between replications was greatest in Test C but the results were satisfactory in separating active inhibitors from those with low activity. Compds. showing high activity are promising for use as herbicides. The compds. tested have been classified into groups according to activity and the results under 3 tests reported. The following, as Group I, are compds. possessing 80% or more of the activity of I in Test A: (2-bromo-4chlorophenoxy) acetic acid; Bu (2,4,5-trichlorophenoxy) acetate; (2-chloro-4-bromophenoxy) acetic acid; NH4 4-chlorocinnamate;  $\alpha$ (4-chlorophenoxy) acetamide; (3-chlorophenoxy) acetic acid; 4-isomer;  $\alpha$ -(2,4-dichlorophenoxy) acetamide; 2-(2,4-dichlorophenoxyacetamido)-1-butanol; Na 4-(2,4dichlorophenoxyacetamido) -2,5-dichlorobenzenesulfonate; 2-(2,4-dichlorophenoxyacetamido)-2-ethyl-1,3-propanediol; 2-(2,4-dichlorophenoxyacetamido)-2-(hydroxymethyl)-1,3-propanediol; 2-(2,4-dichlorophenoxyacetamido)-2-methyl-1,3-propanediol; 2-(2,4-dichlorophenoxyacetamido)-1-naphthalenesulfonic acid; 8-(2,4-dichlorophenoxyacetamido)-1-naphthalenesulfonic acid; 8-(2,4-dichlorophenoxyacetamido)-1-naphthol-3,6-disulfonic acid; (3,4-dichlorophenoxy) acetic acid; 2,5-isomer; (2,4-dichlorophenoxy) acetic anhydride;  $\alpha$ -(2,4-dichlorophenoxy)-4-sulfoacetanilide; (2,4-dichlorophenoxy) acetohydroxamic acid; (2,4-dichlorophenoxy) acetyl chloride; (2,4-dichlorophenoxyacetyl)guanidine; N-(2,4dichlorophenoxyacetyl) urea;  $\alpha$ -(2,4-dichlorophenoxy) butyric acid; 2-diethylaminoethyl (2,4-dichlorophenoxy)acetate; 2-diethylaminoethyl

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(2,4,5-trichlorophenoxy)acetate; 2,2-dimethyl-1,3-dioxolan-4-ylmethyl
(2-methyl-4-chlorophenoxy) acetate; 1,4-bis(2,4,5-
trichlorophenoxyacetamido)benzene; 1,3-isomer; Et (2,4-dichlorophenoxy)-
acetate; Et (2-methyl-4-chlorophenoxy) acetate; Et 2-(2-methyl-4-
chlorophenoxy) heptanoate; 2-hydroxyethyl (2,4-dichlorophenoxy)acetate;
(2-iodo-4-chlorophenoxy) acetic acid; (2-methyl-4-bromophenoxy) acetic acid;
(2-methyl-4-chlorophenoxy) acetamide; N-methy\bar{l}-\alpha-(4-
chlorophenoxy) acetamide; 4-(2-methyl-4-
chlorophenoxyacetamido)benzenesulfonic acid; 2-(2-methyl-4-
chlorophenoxyacetamido)-6,8-naphthalenedisulfonic acid;
2-(2-methyl-4-chlorophenoxyacetamido)-1-naphthalenesulfonic acid;
8-(2-methyl-4-chlorophenoxyacetamido)-1-naphthalenesulfonic acid;
7-(2-methyl-4-chlorophenoxyacetamido)-1-naphthol-3,6-disulfonic acid;
(2-methyl-4-chlorophenoxy)acetic acid; (2-methyl-6-chlorophenoxy)acetic
acid; (2-methyl4-chlorophenoxy)acetic anhydride; (2-methyl-4-
chlorophenoxy) acetyl chloride; (2-methyl-4-fluorophenoxy) acetic acid;
N-methyl-\alpha-(2,4,5-trichlorophenoxy) acetamide;
2-nitro-2-methylpropyl (2,4-dichlorophenoxy)acetate; 2-nitro-2-
methylpropyl (2-methyl-4-chlorophenoxy)acetate; Ph chloroacetate; Ph
(2-methyl-4-chlorophenoxy) acetate; iso-Pr (2-methyl-4-
chlorophenoxy) acetate; 2-(2,4,5-trichlorophenoxyacetamido)-2-
(hydroxymethyl)-1,3-propanediol; \alpha-(2,4,5-trichlorophenoxy)-N,N-
bis(2-hydroxyethyl)acetamide; (2,4,5-trichlorophenoxy)acetic
piperidide; \alpha-(2,4,5-trichlorophenoxy)-3-chloroacetanilide;
\alpha-(2,4,5-trichlorophenoxy)-2,4-dimethylacetanilide;
\alpha-(2,4,5-trichlorophenoxy)-4-ethoxyacetanilide; \alpha-(2,4,5-
trichlorophenoxy)-4-methylacetanilide; \alpha-(2,4,5-trichlorophenoxy)-
2,4,6-trichloroacetanilide; [3-(trifluoromethyl)phenoxy] acetic acid;
N-[tris(hydroxymethyl)methyl]-N-{2-hydroxy-3-
[tris(hydroxymethyl)methylamino]-propyl}-\alpha-(2,4-dichlorophenoxy)
acetamide-HCl. The following, as Group II, are compds. possessing
50-79% of the activity of I in Test A: 2-aminoethanol bis-[(4-
chlorophenoxy)acetate];(4-bromophenoxy)acetic acid; 0-(2-carboxymethoxy-3-
methyl-5-bromobenzoyl)glycolic acid; 0-(2-carboxymethoxy-3-methyl-5-
nitrobenzoyl)-glycolic acid; decyl dihydrogen orthophosphate;
(2-chloro-4-tert-butylphenoxy) acetic acid; (2-chloro-4-iodophenoxy) acetic
acid; 1-chloronaphthylacetic acid (mixture), ammonium salt;
2-(4-chlorophenoxyacetamido)-1-naphthalenesulfonic acid;
4-(4-chlorophenoxyacetamido)-1-naphthalenesulfonic acid;
8-(4-chlorophenoxyacetamido)-1-naphthalenesulfonic acid;
8-(4-chlorophenoxyacetamido)-1-naphthol-3,6-disulfonic acid;
α-(4-chlorophenoxy)-N, N-bis(2-hydroxyethyl) acetamide;
(4-chlorophenoxy)acetyl chloride; 2-(4-chlorophenoxyacetamido)-2-
(hydroxymethyl)-1,3-propanediol; \gamma-(4-chlorophenoxy)-butyric acid;
S-(4-chlorophenyl)thioglycolic acid; 2-butenyl (4-chlorophenoxy)acetate;
(2, 4-dibromophenoxy) acetic acid; \alpha, \beta-dibromo-\gamma-
phenylpropionyl chloride; 3,5-dichloro-2-bromobenzoic acid;
(2,4-dichloro-5-bromophenoxy) acetic acid; (2,4-dichlorophenoxy) acetic
piperidide; 4-(2,4-dichlorophenoxyacetamido)-1-naphthalenesulfonic acid;
(2,4-dichlorophenoxy)acetonitrile; N'-(2,4-dichlorophenoxyacetyl)betaine
hydrazide hydrochloride; \alpha-(2,4-dichlorophenoxy)-N,N-
diethylacetamide; \alpha-(2,4-dichlorophenoxy-N-methylacetamide; NH4
\gamma-(2,4-dichlorophenoxy) butyrate; 2,4-dichlorophenylglycine;
S-(2,5-dichlorophenyl)thioglycolyl chloride; 2,2-dimethyl-1,3-dioxolan-4-
ylmethyl (4-chlorophenoxy)-acetate; \beta-(2,4-dimethylphenoxy)propionic
acid; 3,5-dimethylpyrazole; Et 3-hydroxy-2-naphthoate; Et
(2-methyl-4,6-dichlorophenoxy) acetate; 2-hydroxy
-3-methyl-5-bromobenzoic acid; 2-hydroxy-3-methyl-5-iodobenzoic
acid; 2-hydroxyethyl (4-chlorophenoxy)-acetate; N-2-hydroxyethyl-a-
(2,4-dichlorophenoxy) acetamide; N-2-hydroxyethyl-\alpha-(2-
methyl-4-chlorophenoxy)-acetamide; 2-hydroxyethyl
(2-methyl-4-chlorophenoxy)-acetate; 2-hydroxy-3-methylbenzoic
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acid; 2-hydroxy-5-nitrobenzoic acid; (2-methyl-4-bromo-6-
carboxyphenoxy) acetic acid; \alpha-(3-methyl-4-chlorophenoxy)
acetamide; Me (4-chlorophenoxy)acetate; (2-methyl-5-
chlorophenoxy)acetic acid; (3-methyl-4-chlorophenoxy)-acetic acid;
\alpha-(2-methyl-4-chlorophenoxy)-N,N-bis(2-hydroxyethyl)
acetamide; (3-methyl-4-chlorophenoxy)-acetyl chloride; Me
(2,4-dibromophenoxy) acetate; Me (2,4-dimethylphenoxy) acetate;
(2-methylphenoxy)acetyl chloride; Ph (4-chlorophenoxy)acetate; Ph
(2,4-dichlorophenoxy) acetate; \alpha-(2-propyl-4-chlorophenoxy)
acetamide; \alpha-(2,4,5-trichlorophenoxy) acetanilide;
(2,4,5-trichlorophenoxy) acetonitrile; N-(2,4,5-trichlorophenoxyacetyl)
bis[tris(hydroxymethyl) methylaminomethyl] carbinol hydrochloride. The
following, as Group III, are compds. possessing 30-49% of the activity of
I in Test A: 4-aminoazobenzene; 2-(amylamino)ethyl diphenylacetate-HCl;
(2-amyl-4-chlorophenoxy) acetic acid; isoamyl (2,4-dimethylphenoxy) acetate;
2-bromoethyl (4-chlorophenoxy)acetate; (2-bromophenyl)sulfamic acid;
butylamine mercuric chloride; Bu (3-methylphenoxy)acetate; cacotheline;
1-(4-carboxyphenyl-3-(3-chlorophenyl)urea; chloroacetamide;
4-chlorobenzoyl chloride; (4-chlorophenoxy) acetonitrile;
1-(4-chlorophenoxy)-2,3-epoxypropane; (4-chlorophenyl)acetic acid;
N-(4-chlorophenyl)qlycine; S-(4-chlorophenyl)thioglycolyl chloride;
N-butyl-S-(4-chlorophenyl)thioglycolamide; [2-(cyanomethyl)-4-
chlorophenoxy] acetic acid; NH4 N,N-(cyclopentamethylene)dithiocarbamate;
3,5-dibromo-2-aminobenzoic acid; 2,5-dichloroaniline mercuric chloride
salt; (2,4-dichloro-5-aminophenoxy)-acetic acid; 2,4-dichlorocinnamic
acid; \alpha-(2,4-dichloro-6-methylphenoxy) acetamide;
(2,4-dichloro-5-nitrophenoxy)acetic acid; (2,4-dichlorophenoxy)-N,N-bis(2-
hydroxyethyl) acetamide; S-(2,5-dichlorophenyl) thioglycolic acid;
1,1-bis(1-hydroxy-2,2,2-trichloroethyl)urea; 3,4-dimethylphenol;
(2,4-dimethylphenoxy)acetic acid; 3,4-isomer; (2,4-dimethylphenoxy)acetyl
chloride; S-(2,4-dinitrophenyl)thioglycolic acid; N,N-bis
[tris(hydroxymethyl)methyl]ethylenediamine-di-HCl; Et [2-(chloromethyl)-4-
chlorophenoxy]acetate; (2-ethyl-4-chlorophenoxy)acetic acid; Et
S-(4-chlorophenyl)thioglycolate; 2-hydroxy-3-carboxy-5-
chlorotoluene; 4-hydroxy-3,5-dibromobenzoic acid; 2-hydroxyethyl
2,4-dichlorophenyl ether; N4-(iodoacetyl)sulfanilamide;
2-methyl-2-butylaminopropyl 4-(hexyloxy)benzoate-HCl; (2-methyl-4-chloro-6-
carboxyphenoxy)acetic acid; Me(2-chlorophenoxy)acetate;
1-(2-methyl-4-chlorophenoxy)-2,3-epoxypropane; Me (2,4-
dichlorophenoxy) acetate; (2-methylphenoxy) acetic acid; 4-nitrobenzoyl
chloride; octyl dihydrogen orthophosphate; 2-isopropylaminoethyl
2-butoxybenzoate-HCl; Pr (2-methyl-4-chlorophenoxy)acetate; iso-Pr
phenylcarbamate; Ba 3-pyridinesulfonate; sulfamerazine;
2,3,5-tribromobenzoic acid; 2,3,5-trichlorobenzoic acid;
(2,2,2-trichloro-1-hydroxyethyl)urea; (2,4,6-trichlorophenoxy)acetic acid;
(2,4,5-trichlorophenoxy)-2-nitroacetanilide; 2,4,6-trichlorophenyl
phenylcarbamate; S-(2,4,5-trichlorophenyl)thioglycolamide;
1-[3-(trifluoromethyl)phenoxy]-2,3-epoxypropane; NH4 2,3,5-triiodobenzoate;
 N-[tris(hydroxymethyl)methyl]-N-{2-hydroxy-3-
[tris(hydroxymethyl)methylamino]propyl}-\alpha-(4-chlorophenoxy)
acetamide-HCl. The following, as Group IV-A, are compds. showing
less than 29% of the activity of I in Test A and 50% or more of the
activity of I in either Test B or Test C: \alpha-amino-\beta-(2,4-
dichlorophenoxy) propionamide; \alpha-amino-\beta-(3-nitro-4-
hydroxyphenyl)propionic acid nitrate salt; aminotetrazole; aniline;
(benzylsulfonyl)acetic acid; 5-bromo-2-nitrobenzoic acid;
2-bromo-3-nitrobenzoic acid; NH4 2-bromo-3-nitrobenzoate;
β-bromopropionic acid; 2-butylaminoethyl 4-butoxybenzoate-HCl;
2-isobutylaminoethyl 4-butoxybenzoate-HCl; 2-butylaminoethyl
4-ethoxybenzoate-HCl; 2-butylaminoethyl 4-methoxybenzoate-HCl; camphor
oxime; N4-(carbo-2-chloroethoxy) sulfanilamide; (2-carbomethoxy-4-
chlorophenoxy) acetic acid; (2-carboxy-4-chlorophenoxy) acetic acid;
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(2-carboxy-6-methylphenoxy)acetic acid; (2-carboxyphenoxy)acetic acid;
[2-(carboxymethoxy)-3,5-dichlorobenzoyl]glycolic acid; chloroacetic acid;
2-chloroaniline; 3-chloroaniline; 4-chloroaniline; 4-chlorobenzyl
mercaptan; 4-chlorobenzenesulfonyl chloride; 4-chlorobenzylisothiourea-HCl;
 4-chloromandelic acid; (2-chloro-4-methylphenoxy) acetic acid;
2-chloro-3-nitrobenzoic acid; 2-chloro-5-nitrobenzoic acid;
(2-chlorophenoxy)acetic acid; [2-(2-chlorophenyl)phenoxy]acetic acid;
4-chlorothiophenol; diazoaminobenzene; 2,4-dibromophenol; dichloroacetic
acid; 2,4-dichloroaniline; 2,5-dichloroaniline; (2,4-
dichlorobenzylsulfonyl) acetic acid; 2,4-dichlorobenzoic acid;
2,4-dichlorobenzylisothiourea-HCl; (2,4-dichloro-6-carboxyphenoxy)acetic
acid; (2,6-dichloro-4-nitrophenoxy) acetic acid; 2,4-dichlorophenyl
phenylcarbamate; (2,5-dichlorophenyl) sulfamic acid; 2,4-
dihydroxypyrimidine; 2,4-dimethylphenol; (2,4-dinitrophenyl)acetic acid;
N, N'-bis[tris(hydroxymethyl)methyl] hexamethylenediamine-di-HCl;
3-ethoxy-2-naphthoic acid; 2-ethylaminobutyl 4-ethoxybenzoate-HCl; Et
carbamate; Et \beta-methyl-\beta-(4-chlorophenyl)glycidate;
3-ethyl-4-methylpyridine; Et (2-propyl-4-chlorophenoxy)acetate;
(2-fluorophenoxy)acetic acid; 2-hydroxy-3-bromo-5-chlorobenzoic
acid; 2-hydroxy-3-methyl-5-nitrobenzoic acid; N-(2-
hydroxy-3-chloropropyl)-p-toluidine; 2-hydroxy
-3,5-dinitrobenzoic acid; 4-iodobenzoic acid; 2-methoxyphenol;
4-methoxyphenol; 2-methyl-2-amylaminopropyl diphenylacetate-HCl;
2-methyl-5-chlorophenol; 2-methyl-6-chlorophenol; (2-methyl-4-
chlorophenoxy) fumaric acid; Me 3-chlorophenylcarbamate;
2-methyl-4,6-dichlorophenol; 2-methyl-2-hexylaminopropyl
4-ethoxybenzoate-HCl; Me (2-methyl-6-chlorophenoxy)acetate;
(4-methylphenoxy) acetic acid; Me phenylthiocarbamate; S-(2-
methylphenyl)thioglycolic acid; 4-methyl-4-(trichloromethyl)-2,5-
cyclohexadien-1-one O-carboxymethyloxime; 2-nitrobutyl phenylcarbamate;
1-phenyl-3-methyl-5-pyrazole; phthalic acid; \alpha-pinene;
2-isopropylaminoethyl 4-butoxybenzoate-HCl; (2-propyl-4-
chlorophenoxy)acetic acid; iso-Pr (2,4-dimethylphenoxy)acetate; iso-Pr
(2-methyl-6-chlorophenoxy)acetate; 3-propyl-2-naphthoic acid; iso-Pr
(2-propyl-4-chlorophenoxyacetate); trichloroacetamide; trichloroacetic
acid; trichloroacetyl chloride; 2,4,5-trichlorobenzenesulfonamide;
3,4,5-trihydroxybenzoic acid; N-[tris(hydroxymethyl)methyl]-2,3-
dibromopropylamine-HBr; salicylic acid. The following, as Group IV-B, are
compds. insufficiently soluble in water for Test A to be performed but
exhibiting 50% or more of the activity of I in either Test B or Test C:
allyl (4-chlorophenoxy)acetate; allyl (2,4-dichlorophenoxy)acetate;
2-aminonaphthoic acid; amyl (2,4-dichlorophenoxy)acetate; isoamyl
(2,4-dichlorophenoxy)acetate; amyl 1-naphthalenecarbamate;
bis-(4-chlorophenyl)(trichloromethyl)methane; 1,1'-(bis-2-
naphthol)phenylmethane; 2-bromo-3,5-dichlorobenzamide;
2-bromo-3,5-dichlorobenzanilide; 2,2'-dibromo-3,5-dichlorobenzanilide;
2,3'-dibromo-3,5-dichlorobenzanilide; 2,4'-dibromo-3,5-dichlorobenzanilide;
 2-bromo-3,3',5-trichlorobenzanilide; 2-bromo-2',3,4',5-
tetrachlorobenzanilide; 2-bromo-3,5-dichloro-m-benzotoluidide;
2-bromo-3,5-dichlorobenzoyl chloride; 2-bromoethyl (2,4-dibromophenoxy)
acetate; 2-bromoethyl (2,4-dichlorophenoxy) acetate; \alpha-(4-
bromophenoxy)acetamide; 1-(3-bromophenyl)-3-(2-chlorophenyl)urea;
 1-(3-bromophenyl)-3-(3-chlorophenyl) urea; Bu (2,4-dichlorophenoxy) acetate;
 iso-Bu (2,4-dichlorophenoxy)acetate; 1-carbethoxy-3-(3-chlorophenyl)urea;
2-chloroethyl (4-chlorophenoxy)acetate; 2-chloroethyl (2,4-
dibromophenoxy)acetate; 2-chloroethyl (2,4-dichlorophenoxy)acetate;
2-chloroethyl (2-methyl-4-chlorophenoxy)acetate; 2-chloroethyl
1-naphthalenecarbamate; 2-chloroethyl phenylcarbamate;
\alpha-(4-chlorophenoxy)-p-acetanisidide; \alpha-(4-chlorophenoxy)-2-
bromoacetanilide; \alpha-(4-chlorophenoxy)-3-bromoacetanilide;
\alpha-(4-chlorophenoxy)-4-bromoacetanilide; \alpha-(4-chlorophenoxy)-2-
chloroacetanilide; \alpha-(4-chlorophenoxy)-3-chloroacetanilide;
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\alpha-(4-chlorophenoxy)-2,4-dimethylacetanilide; \alpha-(4-
chlorophenoxy)-4-ethoxyacetanilide; 1-(4-chlorophenoxyacetyl)-2-
phenylhydrazine; \alpha-(4-chlorophenoxy)-4-iodoacetanilide;
\alpha-(4-chlorophenoxy)-3-nitroacetanilide; \alpha-(4-chlorophenoxy)-p-
acetotoluidide; \alpha-(4-chlorophenoxy)-N-p-xenylacetamide;
\gamma-(4-chlorophenoxy) butyronitrile; 4-chlorophenyl
(4-chlorophenoxy)acetate; 1-(4-chlorophenyl)-3-(2-chlorophenyl) urea;
4-chlorophenyl (2,4-dichlorophenoxy)acetate; 1-(3-chlorophenyl)-3,3-
(cyclopentamethylene)urea; 1-(3-chlorophenyl-3-phenylurea;
S-(4-chlorophenyl)-2-bromothioglycolanilide; S-(4-chlorophenyl)-3-
bromothioglycolanilide; 4-chlorophenyl (2,4,5-trichlorophenoxy)acetate;
2,6-dibromobenzoquinone-4-chloroimide; 2,4-dichlorobenzylsulfonyl
chloride; 1,3-bis(4-chlorophenoxyacetamido)benzene; 1,4-isomer;
4,4'-bis(4-chlorophenoxyacetamido)biphenyl; 2,4-bis(4-
chlorophenoxyacetamido) toluene; \alpha-(2,4-dichlorophenoxy) acetanilide;
\alpha-(2,4-dichlorophenoxy)-N-(2-aminoethyl) acetamide;
\alpha-(2,4-dichlorophenoxy)-p-acetanisidide; \alpha-(2,4-
dichlorophenoxy-2,5-dichloroacetanilide; \alpha-(2,4-dichlorophenoxy)-2,4-
dimethylacetanilide; 1-(2,4-dichlorophenoxyacetyl)-2-(2,4-
dinitrophenyl) hydrazine; (2,4-dichlorophenoxy) acetic hydrazide;
\alpha-(2,4-dichlorophenoxy)aceto-2-naphthalide; \alpha-(2,4-
dichlorophenoxy)-p-acetotoluidide; \alpha-(2,4-dichlorophenoxy)-N-o-
xenylacetamide; 4-(2,4-dichlorophenoxyacetamido)azobenzene;
(2,4-dichlorophenoxy) acetylaminoguanidine; (2,4-dichlorophenoxy) acetyl
bromide; \alpha-(2,4-dichlorophenoxy)-N-(hydroxy-tert-butyl)
acetamide; S-(2,4-dichlorophenoxyacetyl)isothiourea;
1-(2,4-dichlorophenoxyacetyl)-2-methyl-2-thioisourea; \gamma-(2,4-
dichlorophenoxy) butyric acid; \gamma, -(2,4-dichlorophenoxy) butyronitrile;
2,4-dichlorophenyl (4-chlorophenoxy)acetate; 2,4-dichlorophenyl
(2,4-dichlorophenoxy)acetate; 1-(2,5-dichlorophenyl)-3-phenylurea;
S-(2,5-dichlorophenyl)thioglycolamide; 4,4'-bis(2,4-
dichlorophenoxyacetamido)biphenyl; 1,4-bis (2,4-
dimethylphenoxyacetamido)benzene; 2,4-bis(2,4-
dimethylphenoxyacetamido)toluene; 2,4-dichlorophenyl (2,4,5-
trichlorophenoxy)acetate; 2,4-dichlorophenyl (4-chlorophenoxy)acetate;
2,3-dichloropropyl (2,4-dibromophenoxy) acetate; 2,3-dichloropropyl
(2,4-dichlorophenoxy)acetate; 2-diethylaminoethyl 2,3,5-triiodobenzoate;
3,3'-dimethyl-4,4'-bis(4-chlorophenoxyacetamido)biphenyl;
3,3'-dimethyl-4,4'-bis(2-methylphenoxyacetamido)biphenyl;
1,3-bis(2-methylphenoxyacetamido)benzene; 1,4-isomer; 4,4'-bis(2-
methylphenoxyacetamido)biphenyl; 4,4'-bis(2,4-
dimethylphenoxyacetamido)biphenyl; 1-(4-ethoxyphenyl)-3-phenylurea; Et
2-bromo-3,5-dichlorobenzoate; Et (4-bromophenoxy)acetate; Et
(4-chlorophenoxy) acetate; 2-ethylhexyl (2,4-dichlorophenoxy) acetate;
methallyl (4-chlorophenoxy)acetate; 2-methoxy-4-methylphenyl
1-naphthalenecarbamate; Me 2-bromo-3-nitrobenzoate; 4-(2-methyl-4-
chlorophenoxyacetamido) azobenzene; \alpha - (2-\text{methyl}-6-\text{chlorophenoxy}) - 2,5-
dichloroacetanilide; 2-methyl-4-chlorophenyl (2,4-dichlorophenoxy)acetate;
1-methyl-2,4-bis(2,4-dichlorophenoxyacetamido)benzene; Me
4-nitrophenylcarbamate; Me (2,4,5-trichlorophenoxy)acetate; (2-
hydroxy-1-naphthyl)-1-piperidylphenylmethane; 2-nitrobutyl
(2,4,5-trichlorophenoxy) acetate; 4-nitro-N, N-dimethylaniline; octyl
(2,4-dichlorophenoxy) acetate; pentachlorophenyl (2,4,5-
trichlorophenoxy)acetate; 1-phenyl-3,3-cyclopentamethyleneurea; Ph
phenylcarbamate; Ph (2,4,5-trichlorophenoxy)acetate; iso-Pr
(2,4-dichlorophenoxy)acetate; 3-isopropoxy-2-naphthoic acid;
1,3-di-m-tolyl-urea; (2,4,5-tribromo-3,5-dimethylphenoxy)acetic acid;
2,4,6-tribromophenyl acetate; 2,4,5-trichlorobenzamide; trichloroethyl
(2,4-dibromophenoxy) acetate; 2,2,2-trichloroethyl (2,4-
dichlorophenoxy) acetate; 2,4,5-trichlorophenoxyacetic acid;
2-(2,4,5-trichlorophenoxyacetamido)anthraquinone; \alpha-(2,4,5-
trichlorophenoxy)-4-bromoacetanilide; \alpha-(2,4,5-trichlorophenoxy)-4-
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methoxyacetanilide; (2,4,5-trichlorophenoxy)aceto-2-naphthalide; \alpha-(2,4,6-trichlorophenoxy)-4-sulfoacetonaphthalide; \alpha-(2,4,5-trichlorophenoxy)-m-acetotoluidide; (2,4,5-trichlorophenoxy)acetyl chloride; 1-(2,4,5-trichlorophenoxyacetyl)-2-(p-nitrophenyl)hydrazine; 2,4,6-trichlorophenyl (4-chlorophenoxy)acetate; 2,4,6-trichlorophenyl (2,4-dichlorophenoxy)acetate; 2,4,6-trichlorophenoxy)acetate; N-(3-(trifluoromethyl)phenyl)-<math>\alpha-(4-chlorophenoxy)acetamide; N-(3-(trifluoromethyl)phenyl)-<math>\alpha-(2,4,5-trichlorophenoxy)acetamide; 2,3,5-triiodobenzoic acid; 2,3,5-triiodobenzoyl chloride; 1-(trifluoromethyl)methylamino)-2,4-dinitrobenzene; N-(p-xenyl)-\alpha-(2,4-dichlorophenoxy) acetamide
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The following, as Group IV-C, were also examined by the three tests and showed
   relatively low activity as compared with I: 2-acetoxyethyl
   1-naphthalenecarbamate; 2-acetoxyethyl phenylcarbamate;
   (2-acetyl-4-chlorophenoxy) acetic acid; (2-allyl-4-chlorophenoxy) acetic
   acid; allyl 1-naphthalenecarbamate; allyl phenylcarbamate; allyl 4-tolyl
   sulfone; 1-aminoanthraquinone; 2-isomer; 4-aminobenzyl
   tris(hydroxymethyl)methylamine-di-HCl; 2-amino-3,5-dichlorobenzoic acid;
   2-aminoethylsulfuric acid; 8-amino-1-naphthol-3,6-disulfonic acid;
   1-amino-2-naphthol-4-sulfonic acid; 4-aminophenol; (2-aminophenoxy) acetic
   acid; (4-aminophenyl)acetic acid; 2-aminopyridine; 2-aminothiazole;
   2-amylaminoethyl 4-butoxybenzoate-HCl; isoamyl formate; amyl
   (2-methylphenoxy)acetate; isoamyl 1-naphthalenecarbamate;
   4-tert-amylphenol; amyl phenylcarbamate; isoamyl phenylcarbamate;
   (4-arsonophenoxy) acetic acid; benzoic acid; 4-benzylaminophenol-HCl;
  benzyl Bu sulfone; allyl (benzylsulfonyl)acetate; Me
   (benzylsulfonyl)acetate; N-benzyl-N, N'-bis[tris(hydroxymethyl)methyl]-2-
  hvdroxv-1,3-diaminopropane; benzyl Et sulfone; benzyl Me sulfone;
  benzyl 4-tolyl sulfone; benzyl[tris(hydroxymethyl)methyl]amine; 1,3-bis{
   [tris(hydroxymethyl)methyl]amino}-2-propanol-HCl; 2-bromobenzamide;
   2-bromobenzanilide; 2-bromo-2',4'-dichlorobenzanilide; 2-bromobenzoic
   acid; 3-isomer; NH4 4-bromobenzoate; 4-bromobenzonitrile;
   (2-bromo-4-tert-butylphenoxy) acetic acid; 2-bromo-3,5-dichloro-N-
   butylbenzamide; 2-bromo-3,4',5-trichlorobenzanilide; 2-bromoethylamine;
   2-bromoethyl 4-ethoxythiolbenzoate; 2-bromoethyl (2-methyl-4-
   chlorophenoxy)acetate; 2-bromo-4-nitrobenzoic acid; 2-bromo-5-nitrobenzoic
   acid; NH4 2-bromo-5-nitrobenzoate; 3-bromo-4-nitrobenzoic acid;
   3-bromo-5-nitrobenzoic acid; 4-bromophenol; (2-bromophenoxy)acetic acid;
   \alpha-(4-bromophenoxy)-4-bromoacetanilide; \alpha-(4-bromophenoxy)-4-
   chloroacetanilide; \alpha-(4-bromophenoxy)-2,5-dichloroacetanilide;
   3-bromophenylammonium fluoroborate; 4-bromophenylammonium fluoroborate;
   1-(2-bromophenyl)-3-(2-chlorophenyl)urea; 1-(4-bromophenyl)-3-(3-
   chlorophenyl)urea; 1-(2-bromophenyl)-3-(3-chlorophenyl)urea;
   N-(4-bromophenyl)-3-(2-chlorophenyl)urea; NH4 (4-
   bromophenyl)dithiocarbamate; 4-bromophenyl 1-naphthalenecarbamate;
   (2-bromo-4-phenylphenoxy) acetic acid; 4-bromophenyl phenylcarbamate;
   1-(2-bromophenyl)-3-phenylurea; 1-(3-bromophenyl)-3-phenylurea;
   1-(4-bromophenyl)-3-phenylurea; 3-bromophenylsulfamic acid;
   N-(3-bromophenyl) \alpha, \alpha, \alpha-trichloroacetamide;
   2-butylaminoethyl 2-butoxybenzoate-HCl; 2-butylaminoethyl
   diphenylacetate-HCl; 2-butylaminoethyl 4-(heptyloxy)benzoate-HCl;
   2-butylaminoethyl 4-propoxybenzoate-HCl; 2-butylaminoethyl
   2-(thiobutoxy)benzoate; (2-sec-butyl-4-chlorophenoxy)acetic acid; Hg
   butyldithiocarbamate; Bu 1-naphthalenecarbamate; iso-Bu
   1-naphthalenecarbamate; 4-tert-butylphenol; Bu phenylcarbamate; iso-Bu
   phenylcarbamate; tert-Bu phenylcarbamate; 1-butyl-3-phenylthiourea;
   N-butyl-\alpha-(2,4,5-trichlorophenoxy) acetamide;
   4-carbethoxy-6-methoxyquinoline; 1-carbethoxy-3-phenylurea;
   1-carbobutoxyethyl 1-naphthalenecarbamate; 1-carboisopropoxyethyl
   1-naphthalenecarbamate; 0-(2-carboxymethoxybenzoyl)glycolic acid;
   O-(2-carboxymethoxy-3-methyl-5-chlorobenzoyl)glycolic acid; NH4
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(carboxymethyl)dithiocarbamate; Na (4-carboxymethylphenyl)dithiocarbamate;
2-carboxy-6-methylphenyl phenylcarbamate; NH4 (4-
carboxyphenyl)dithiocarbamate; 4-carboxyphenylglycine; o-carboxyphenyl
1-naphthalenecarbamate; 1-(4-carboxyphenyl)-3-(1-naphthyl)urea;
4-carboxyphenyl phenylcarbamate; S-(4-carboxyphenyl)thioglycolic acid;
N4-(β-carboxypropionyl)sulfanilamide; pyrocatechol; chloroacetyl
chloride; 4-chloroanisole; 2-chlorobenzaldehyde O-carboxymethyloxime;
2-chlorobenzaldehyde oxime; 4-chlorobenzamide; 4-chlorobenzenesulfonamide;
4-chlorobenzoic acid; bis(4-chlorobenzyl)disulfide; S-(4-
chlorobenzyl)thioglycolic acid; bis(4-chlorobenzyl)sulfide;
(4-chlorobenzylsulfonyl)acetic acid; 4-chlorocinnamic acid;
chlorinated 1,5-dihydroxynaphthalene; 2-chloroethyl (2-propyl-4-
chlorophenoxy)acetate; chlorohydroquinone; chlorohydroquinone-O,O-diacetic
acid; 4-(chloromercuri)phenol; [4-(chloromercuri)phenoxy]acetic acid;
[2-(chloromethyl)-4-chlorophenoxy]acetic acid; 2-chloro-4-methyl-6-
methoxyquinoline; 2-chloro-4-methylquinoline; (7-chloro-1-naphthoxy)acetic
acid; 1-chloronaphthylacetic acid mixture; 4-chlorophenetole;
1-(4-chlorophenoxyacetamido) naphthalene; 2-(4-
chlorophenoxyacetamido) naphthalene; \alpha-(4-chlorophenoxy) -2,5-
dichloroacetanilide; \alpha-(4-chlorophenoxy)-N,N-diethyl-
acetamide; (4-chlorophenoxy)acetic piperidide;
\alpha-(4-chlorophenoxy)-2-nitroacetanilide; \alpha-(4-chlorophenoxy)-
2,4,6-trichloroacetanilide; (4-chlorophenoxy)(4-chlorophenyl)acetic acid;
(4-chlorophenoxy) fumaric acid; 2-(4-chlorophenoxy) heptanoic acid;
\beta-(4-chlorophenoxy)propionic acid; \beta-(4-
chlorophenoxy) propionitrile; 4-chlorophenylammonium fluoroborate;
1-(2-chlorophenyl)-3-butylurea; 1-(3-chlorophenyl)-3-butylurea;
1-(2-\text{chlorophenyl})-1-(4-\text{carboxyphenyl})urea; N-(3-\text{chlorophenyl})-\alpha-
chloroacetamide; 4-isomer; 1-(3-chlorophenyl)-3-(2-chlorophenyl) urea;
1-(4-chlorophenyl)-3-(3-chlorophenyl) urea; 3-(2-chlorophenyl)-1,1-
cyclopentamethyleneurea; NH4 (4-chlorophenyl)dithiocarbamate;
2-chloro-1,4-phenylene bis(phenylcarbamate); N-(2-chlorophenyl)glycine;
1-(2-chlorophenyl)-3-(2-hydroxyethyl) urea; 3-chloro isomer;
3-chlorophenyl isocyanate; 1-(2-chlorophenyl)-3-(1-naphthyl) urea;
4-isomer; [2-(4-chlorophenyl)phenoxy]acetic acid; 1-(2-chlorophenyl)-3-
phenylurea; 4-chloro isomer; 1-(2-chlorophenyl)-3-phenylthiourea;
3-isomer; 4-isomer; Na (3-chlorophenyl)sulfamate; (4-chlorophenyl)sulfamic
acid; S-(2-chlorophenyl)thioglycolic acid; S-(4-
chlorophenyl) thioglycolamide; S-(4-chlorophenyl) thioglycolanilide;
S-(4-chlorophenyl)-4'-bromothioglycolanilide; S-(4-chlorophenyl)thioglycol-
p-phenetidide; S-(4-chlorophenyl)thioglycol-m-toluidine;
1-(2-chlorophenyl)urea; 3-isomer; 1,3-bis(2-chlorophenyl)urea; 3-isomer;
cinnamic acid; cinnamoyl chloride; o-cresol; m-isomer; p-isomer;
4-toloxyacetyl chloride; cyanoacetamide; (2-cyclohexyl-4-
chlorophenoxy) acetic acid; (decyl-mercapto) acetic acid;
(decylsulfonyl) acetic acid; bis(2-acetoxyethyl) sulfone;
2,6-diaminopyridine monohydrochloride; 2,6-dibromo-4-carboxyphenyl
phenylcarbamate; \alpha, \beta-dibromodihydrocinnamic acid;
4,6-dibromo-1,3-dihydroxybenzene; (2,6-dibromo-4-methylphenoxy)acetic
acid; 2,4-dibromophenyl phenylcarbamate; \alpha, \beta-dibromo-\gamma-
phenylpropionamide; bis(2-butyroxyethyl) sulfone; 2,5-dichloro-4-
aminobenzenesulfonic acid; 2,4-dichloroanisole; 2,6-
dichlorobenzenoneindophenol sodium salt; 2,5-dichlorobenzenesulfonamide;
2,5-dichlorobenzenesulfonyl chloride; (2,4-dichlorobenzylmercapto)acetic
acid; bis(2,4-dichlorobenzyl)disulfide; 2,4-dichlorobenzyl mercaptan;
bis(2,4-dichlorobenzyl)sulfide; bis(2,4-dichlorobenzyl)sulfone;
5,7-dichloro-3-coumaranone; N,2,4-trichloroacetanilide;
2,6-dichloro-3-ethyl-4-methylpyridine; 2,4-dichloromandelic acid;
2,6-dichloro-4-methyl-5-ethylnicotinamide; (2,6-dichloro-4-
methylphenoxy)acetic acid; (2,4-dichloro-6-methylphenoxy)acetyl chloride;
(2,4-dichloro-1-naphthoxy) acetic acid; 2,4-dichlorophenetole;
2,4-dichlorophenol; 1-(2,4-dichlorophenoxyacetamido)anthraquinone;
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2-(2,4-dichlorophenoxyacetamido) anthraquinone; (2,6-dichlorophenoxy) acetic acid; 3,5-isomer;  $\alpha$ -(2,4-dichlorophenoxy)-4-bromoanilide;  $\alpha$ -(2,4-dichlorophenoxy)-4-chloroacetanilide;  $\alpha$ -(2,4dichlorophenoxy)-p-acetophenetide;  $\alpha$ -(2,4-dichlorophenoxy)-N-(2hydroxyethyl) acetamide; 2,4-dichlorophenoxyaceto-1-naphthalide;  $\alpha$ -(2,4-dichlorophenoxy)-2-nitroacetanilide;  $\alpha$ -(2,4dichlorophenoxy)-3-nitroacetanilide; 1-(2,4-dichlorophenoxyacetyl)-2-(pnitrophenyl) hydrazine;  $\alpha$ -(2,4-dichlorophenoxy) -N-2'-pyridylacetamide;  $\alpha$ -(2,4-dichlorophenoxy)-2,4,6-trichloroacetanilide; 2-(2,4-dichlorophenoxyacetamido)-6,8-naphthalenedisulfonic acid; 1-(2,4-dichlorophenoxyacetyl)-1-phenylsemicarbazide; (2,4dichlorophenoxy) (p-chlorophenyl) acetic acid; 1-(2,4-dichlorophenoxy)-2,3epoxypropane; (2,4-dichlorophenoxy) fumaric acid; Addnl. information in printed abstract 724440-96-4, Acetamide, 2-(2,4-dichlorophenoxy)-N-[2hydroxy-1,1-bis(hydroxymethyl)ethyl]-N-[2-hydroxy-3-[[2-

RN 724440-96-4 CAPLUS

CN Acetamide, 2-(2,4-dichlorophenoxy)-N-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]-N-{2-hydroxy-3-{[2-hydroxy-1,1-bis(hydroxymethyl)amino}propyl}- (5CI) (CA INDEX NAME)

●2 HCl